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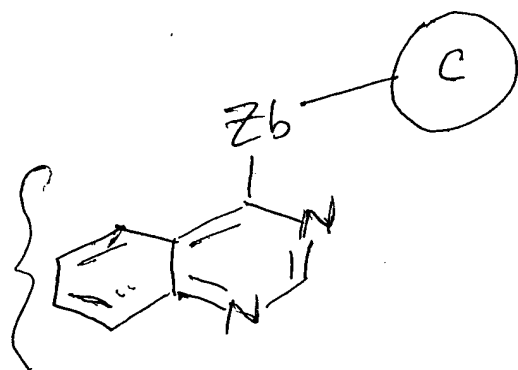
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9/806,836

Query

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Zb is -O-, or -S-

Ring C is 5-6 mem. heterocyclic moiety  
sat'd or unsat'd, aromatic or  
non-aromatic, + contains 1-3  
heteroatoms selected from O, N + S.

See also claims 10-13 (species)  
+ Claim 18



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|  |   |                               |  |                                    |                            |
|--|---|-------------------------------|--|------------------------------------|----------------------------|
| SERIAL NUMBER<br>09/806,836  | FILING DATE<br>06/12/2001<br><br>RULE   | CLASS<br>514                  | GROUP ART UNIT<br>1624   | ATTORNEY<br>DOCKET NO.<br>P.278065 |                            |
| APPLICANTS <span style="float: right;">(1 + amend)</span><br><br>Laurent F A Hennequin, Reims, FRANCE;<br><br>Georges Pasquet, Reims, FRANCE;<br><br>** CONTINUING DATA *****<br>This application is a 371 of PCT/GB99/03295 10/05/1999<br><br>** FOREIGN APPLICATIONS *****<br>EUROPEAN PATENT OFFICE (EPO) 98402496.8 10/08/1998 |   |                               |  |                                    |                            |
| Foreign Priority claimed <input type="checkbox"/> yes <input type="checkbox"/> no<br>35 USC 119 (a-d) conditions <input type="checkbox"/> yes <input type="checkbox"/> no <input type="checkbox"/> Met after Allowance<br>Verified and Acknowledged _____<br>Examiner's Signature _____ Initials _____                             |   | STATE OR<br>COUNTRY<br>FRANCE | SHEETS<br>DRAWING  | TOTAL<br>CLAIMS<br>17              | INDEPENDENT<br>CLAIMS<br>1 |
| ADDRESS<br>09629<br>MORGAN LEWIS & BOCKIUS LLP<br>1111 PENNSYLVANIA AVENUE NW<br>WASHINGTON , DC<br>20004  |   |                               |  |                                    |                            |
| TITLE<br>Quinazoline derivatives   |   |                               |  |                                    |                            |
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- 14) 1-(R<sup>29</sup>X<sup>8</sup>)but-2-yn-4-yl (wherein X<sup>8</sup> and R<sup>29</sup> are as defined in claim 18);  
15) C<sub>2-3</sub>alkylX<sup>9</sup>C<sub>1-2</sub>alkylR<sup>29</sup> (wherein X<sup>9</sup> and R<sup>29</sup> are as defined in claim 18);  
16) R<sup>28</sup> (wherein R<sup>28</sup> is as defined in claim 18);  
17) C<sub>2-3</sub>alkylX<sup>9</sup>C<sub>1-2</sub>alkylR<sup>28</sup> (wherein X<sup>9</sup> and R<sup>28</sup> are as defined in claim 18); and  
18) C<sub>2-3</sub>alkylR<sup>54</sup>C<sub>1-2</sub>alkylX<sup>9</sup>R<sup>55</sup> (wherein X<sup>9</sup>, R<sup>54</sup> and R<sup>55</sup> are as defined in claim 18);  
and additionally wherein any C<sub>1-5</sub>alkyl, C<sub>2-5</sub>alkenyl or C<sub>2-5</sub>alkynyl group in R<sup>5</sup>X<sup>1</sup> - may bear one or more substituents selected from hydroxy, halogeno and amino.

Claim 10 (previously presented): A compound as claimed in claim 18 wherein R<sup>2</sup> represents 2-methoxyethoxy, 2-(2-methoxyethoxy)ethoxy, 3-methoxypropoxy, 2-methylsulfonylethoxy, 3-methylsulfonylpropoxy, 2-(tetrahydropyran-4-yloxy)ethoxy, 3-(tetrahydropyran-4-yloxy)propoxy, 2-(4-methylpiperazin-1-yl)ethoxy, 3-(4-methylpiperazin-1-yl)propoxy, 2-morpholinoethoxy, 3-morpholinopropoxy, 2-(imidazol-1-yl)ethoxy, 3-(imidazol-1-yl)propoxy, 2-(1,1-dioxothiomorpholino)ethoxy, 3-(1,1-dioxothiomorpholino)propoxy, 2-(1,2,3-triazol-1-yl)ethoxy, 3-(1,2,3-triazol-1-yl)propoxy, 2-(N-methoxyacetyl-N-methylamino)ethoxy, 3-(N-methoxyacetyl-N-methylamino)propoxy, N-methylpiperidin-3-ylmethoxy, 4-(pyrrolidin-1-yl)but-2-en-yloxy, 2-(2-oxopyrrolidin-1-yl)ethoxy, 3-(2-oxopyrrolidin-1-yl)propoxy, 2-(pyrrolidin-1-yl)ethoxy, 3-(pyrrolidin-1-yl)propoxy, 2-(2-(pyrrolidin-1-yl)ethoxy)ethoxy, 2-(2-(4-methylpiperazin-1-yl)ethoxy)ethoxy, 2-piperidinoethoxy, 3-piperidinopropoxy, 2-(methylpiperidino)ethoxy, 3-(methylpiperidino)propoxy, 2-(ethylpiperidino)ethoxy, 3-(ethylpiperidino)propoxy, 2-((2-methoxyethyl)piperidino)ethoxy, 3-((2-methoxyethyl)piperidino)propoxy, 2-((2-methylsulphonyl)ethylpiperidino)ethoxy, 3-((2-methylsulphonyl)ethylpiperidino)propoxy, piperidin-3-ylmethoxy, piperidin-4-ylmethoxy, 2-(piperidin-3-yl)ethoxy, 2-(piperidin-4-yl)ethoxy, 3-(piperidin-3-yl)propoxy, 3-(piperidin-4-yl)propoxy, 2-(methylpiperidin-3-yl)ethoxy, 2-(methylpiperidin-4-yl)ethoxy, 3-(methylpiperidin-3-yl)propoxy, 3-(methylpiperidin-4-yl)propoxy, 2-(ethylpiperidin-3-yl)ethoxy,

2-(ethylpiperidin-4-yl)ethoxy, 3-(ethylpiperidin-3-yl)propoxy,  
3-(ethylpiperidin-4-yl)propoxy, 2-((2-methoxyethyl)piperidin-3-yl)ethoxy,  
2-((2-methoxyethyl)piperidin-4-yl)ethoxy, 3-((2-methoxyethyl)piperidin-3-yl)propoxy,  
3-((2-methoxyethyl)piperidin-4-yl)propoxy,  
2-((2-methylsulphonylethyl)piperidin-3-yl)ethoxy,  
2-((2-methylsulphonylethyl)piperidin-4-yl)ethoxy,  
3-((2-methylsulphonylethyl)piperidin-3-yl)propoxy,  
3-((2-methylsulphonylethyl)piperidin-4-yl)propoxy, 1-isopropylpiperidin-2-ylmethyl,  
1-isopropylpiperidin-3-ylmethyl, 1-isopropylpiperidin-4-ylmethyl,  
2-(1-isopropylpiperidin-2-yl)ethyl, 2-(1-isopropylpiperidin-3-yl)ethyl,  
2-(1-isopropylpiperidin-4-yl)ethyl, 3-(1-isopropylpiperidin-2-yl)propyl,  
3-(1-isopropylpiperidin-3-yl)propyl, 3-(1-isopropylpiperidin-4-yl)propyl,  
3-(4-methylpiperazin-1-yl)propoxy, 1-methylpiperidin-4-ylmethoxy,  
1-(2-methylsulphonylethyl)piperidin-4-ylmethoxy,  
1-(2-pyrrolidinylethyl)piperidin-4-ylmethoxy,  
1-(3-pyrrolidinylpropyl)piperidin-4-ylmethoxy, 1-(2-piperidinylethyl)piperidin-4-ylmethoxy,  
1-(3-piperidinylpropyl)piperidin-4-ylmethoxy, 1-(2-morpholinoethyl)piperidin-4-ylmethoxy,  
1-(3-morpholinopropyl)piperidin-4-ylmethoxy,  
1-(2-thiomorpholinoethyl)piperidin-4-ylmethoxy,  
1-(3-thiomorpholinopropyl)piperidin-4-ylmethoxy,  
1-(2-azetidinylethyl)piperidin-4-ylmethoxy or 1-(3-azetidinypropyl)piperidin-4-ylmethoxy.

Claim 11 (previously presented): A compound as claimed in claim 18 selected from:  
4-(5-(4-methoxyphenyl)pyrazol-3-yloxy)-6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)-  
quinazoline,  
4-(5-(4-methoxyphenyl)pyrazol-3-yloxy)-6-methoxy-7-(3-(4-methylpiperazin-1-yl)-  
propoxy)quinazoline,  
6-methoxy-7-(2-(2-methoxyethoxy)ethoxy)-4-(5-phenylpyrazol-3-yloxy)quinazoline,  
4-(5-(3-furyl)pyrazol-3-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline,

6-methoxy-7-(3-morpholinopropoxy)-4-(5-phenylpyrazol-3-yloxy)quinazoline,  
7-(2-(imidazol-1-yl)ethoxy)-6-methoxy-4-(5-phenylpyrazol-3-yloxy)quinazoline,  
4-(5-(4-chlorophenyl)pyrazol-3-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline,  
6-methoxy-7-(3-(4-methylpiperazin-1-yl)propoxy)-4-(5-phenylpyrazol-3-yloxy)-quinazoline,  
6-methoxy-7-(2-methoxyethoxy)-4-(5-phenylpyrazol-3-yloxy)quinazoline,  
4-(5-(4-methoxyphenyl)pyrazol-3-yloxy)-6-methoxy-7-(2-(1,2,3-triazol-1-yl)ethoxy)-  
quinazoline and  
4-(5-(4-methoxyphenyl)pyrazol-3-yloxy)-6-methoxy-7-(1-(2-methylsulphonyl)ethyl)-  
piperidin-4-ylmethoxy)quinazoline;  
and salts thereof.

Claim 12 (previously presented): A compound as claimed in claim 18 selected from:  
7-(2-methoxyethoxy)-4-(5-phenylpyrazol-3-yloxy)quinazoline,  
4-(5-(2-fluorophenyl)pyrazol-3-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline,  
6-methoxy-7-(3-morpholinopropoxy)-4-(5-(3-nitrophenyl)pyrazol-3-yloxy)quinazoline,  
6-methoxy-7-(3-morpholinopropoxy)-4-(5-(4-nitrophenyl)pyrazol-3-yloxy)quinazoline,  
6-methoxy-7-(3-morpholinopropoxy)-4-(5-(4-pyridyl)pyrazol-3-yloxy)quinazoline,  
4-(5-(4-fluorophenyl)pyrazol-3-yloxy)-6-methoxy-7-(3-morpholinopropoxy)quinazoline, and  
6-methoxy-7-(2-methoxyethoxy)-4-(5-(4-methoxyphenyl)pyrazol-3-yloxy)quinazoline,  
and salts thereof.

Claim 13 (previously presented): A method for producing an antiangiogenic and/or  
vascular permeability reducing effect in a warm-blooded animal in need of such treatment  
which comprises administering to such animal an effective amount of a compound selected  
from the group consisting of:  
6-methoxy-7-(1-methylpiperidin-4-ylmethoxy)-4-(5-phenylpyrazol-3-ylamino)-quinazoline  
and  
6,7-dimethoxy-4-(5-phenylpyrazol-3-yloxy)quinazoline  
and pharmaceutically acceptable salts thereof.

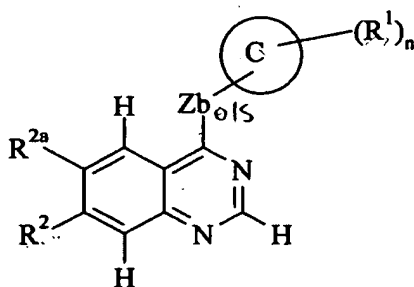
Claim 14 (**previously presented**): A compound as claimed in any one of claims 18 and 5 to 12 in the form of a pharmaceutically acceptable salt.

Claim 15 (**cancelled**).

Claim 16 (**previously presented**): A pharmaceutical composition which comprises as active ingredient a compound of formula II or a pharmaceutically acceptable salt thereof as claimed in any one of claims 18 and 5 to 12 in association with a pharmaceutically acceptable excipient or carrier.

Claim 17 (**previously presented**): A method for producing an antiangiogenic and/or vascular permeability reducing effect in a warm-blooded animal in need of such treatment which comprises administering to said animal an effective amount of a compound of formula II as defined in any one of claims 18 and 5 to 12 or a pharmaceutically acceptable salt thereof.

Claim 18 (**currently amended**): A compound of the formula II:



II

wherein:



ring C is a 5-6-membered heterocyclic moiety which may be saturated or unsaturated, which may be aromatic or non-aromatic, and which contains 1-3 heteroatoms selected independently from O, N and S;

Zb is -O- or -S-;

R<sup>1</sup> represents hydrogen, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxymethyl, di(C<sub>1-4</sub>alkoxy)methyl, C<sub>1-4</sub>alkanoyl, trifluoromethyl, cyano, amino, C<sub>2-5</sub>alkenyl, C<sub>2-5</sub>alkynyl, a phenyl group, a benzyl group or a 5-6-membered heterocyclic group with 1-3 heteroatoms, selected independently from O, S and N, which heterocyclic group may be aromatic or non-aromatic and may be saturated (~~linked and linked~~ via a ring carbon or nitrogen ~~atom, atom~~) or unsaturated (~~linked and linked~~ via a ring carbon ~~atom, atom~~), and which phenyl, benzyl or heterocyclic group may bear on one or more ring carbon atoms up to 5 substituents selected from hydroxy, halogeno, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxy, C<sub>1-3</sub>alkanoyloxy, trifluoromethyl, cyano, amino, nitro, C<sub>2-4</sub>alkanoyl, C<sub>1-4</sub>alkanoylamino, C<sub>1-4</sub>alkoxycarbonyl, C<sub>1-4</sub>alkylsulphanyl, C<sub>1-4</sub>alkylsulphinyl, C<sub>1-4</sub>alkylsulphonyl, carbamoyl, N-C<sub>1-4</sub>alkylcarbamoyl, N,N-di(C<sub>1-4</sub>alkyl)carbamoyl, aminosulphonyl, N-C<sub>1-4</sub>alkylaminosulphonyl, N,N-di(C<sub>1-4</sub>alkyl)aminosulphonyl, C<sub>1-4</sub>alkylsulphonylamino, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>haloalkyl, C<sub>1-4</sub>hydroxyalkoxy, carboxy and a saturated heterocyclic group selected from morpholino, thiomorpholino, pyrrolidinyl, piperazinyl, piperidinyl imidazolidinyl and pyrazolidinyl, which saturated heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkoxy, C<sub>1-3</sub>alkanoyloxy, trifluoromethyl, cyano, amino, nitro and C<sub>1-4</sub>alkoxycarbonyl; and additionally R<sup>1</sup> may represent carboxy, C<sub>3-7</sub>cycloalkyl, C<sub>3-7</sub>cycloalkylC<sub>1-3</sub>alkyl, or phenylC<sub>2-4</sub>alkyl wherein the phenyl moiety may bear up to 5 substituents selected from the list herein defined for a phenyl ring which is directly linked to ring C;

n is an integer from 0 to 5;

m is an integer from 0 to 3;

$R^2$  represents hydroxy, cyano, nitro, trifluoromethyl,  $C_{1-3}$ alkylsulphanyl,  $-NR^3R^4$  (~~wherein, wherein  $R^3$  and  $R^4$ , which may be the same or different, each represents hydrogen or  $C_{1-3}$ alkyl~~),  $C_{1-3}$ alkyl,

or  $R^2$  represents  $R^5X^1$  (~~wherein, wherein  $X^1$  represents a direct bond, -O-, -CH<sub>2</sub>-, -OCO-, carbonyl, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>6</sup>CO-, -CONR<sup>7</sup>-, -SO<sub>2</sub>NR<sup>8</sup>-, -NR<sup>9</sup>SO<sub>2</sub>- or -NR<sup>10</sup>- (wherein, wherein  $R^6$ ,  $R^7$ ,  $R^8$ ,  $R^9$  and  $R^{10}$  each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl)~~), and, and  $R^5$  is selected from one of the following eighteen groups:

- 1) hydrogen or  $C_{1-5}$ alkyl which may be unsubstituted or which may be substituted with one or more groups selected from hydroxy, fluoro, chloro, bromo and amino;
- 2)  $C_{1-5}$ alkyl $X^2COR^{11}$  (~~wherein, wherein  $X^2$  represents -O- or -NR<sup>12</sup> (in which, in which  $R^{12}$  represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl)~~ and, and  $R^{11}$  represents  $C_{1-3}$ alkyl, -NR<sup>13</sup> $R^{14}$  or -OR<sup>15</sup> (~~wherein, wherein  $R^{13}$ ,  $R^{14}$  and  $R^{15}$  which may be the same or different each represents hydrogen,  $C_{1-3}$ alkyl,  $C_{4-5}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl~~));
- 3)  $C_{1-5}$ alkyl $X^3R^{16}$  (~~wherein, wherein  $X^3$  represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -OCO-, -NR<sup>17</sup>CO-, -CONR<sup>18</sup>-, -SO<sub>2</sub>NR<sup>19</sup>-, -NR<sup>20</sup>SO<sub>2</sub>- or -NR<sup>21</sup> (wherein, wherein  $R^{17}$ ,  $R^{18}$ ,  $R^{19}$ ,  $R^{20}$  and  $R^{21}$  each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl)~~ and, and  $R^{16}$  represents hydrogen,  $C_{1-3}$ alkyl, cyclopentyl, cyclohexyl or a 5-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which  $C_{1-3}$ alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and  $C_{1-4}$ alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ cyanoalkyl and  ~~$C_{1-4}$ alkoxy carbonyl~~)  $C_{1-4}$ alkoxycarbonyl;
- 4)  $C_{1-5}$ alkyl $X^4C_{1-5}$ alkyl $X^5R^{22}$  (~~wherein, wherein  $X^4$  and  $X^5$  which, which may be the same or different are, are each -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>23</sup>CO-, -CONR<sup>24</sup>-, -SO<sub>2</sub>NR<sup>25</sup>-, -NR<sup>26</sup>SO<sub>2</sub>- or -NR<sup>27</sup> (wherein, wherein  $R^{23}$ ,  $R^{24}$ ,  $R^{25}$ ,  $R^{26}$  and  $R^{27}$  each~~

- independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) ~~and, and~~ R<sup>22</sup> represents hydrogen, C<sub>1-3</sub>alkyl or ~~C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl~~ C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl;
- 5) R<sup>28</sup> ~~(wherein, wherein R<sup>28</sup> is a 5-6-membered saturated heterocyclic group (linked,~~ linked via carbon or nitrogen) ~~with, with~~ with 1-2 heteroatoms, ~~selected selected~~ independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>alkoxyC<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkylsulphonylC<sub>1-4</sub>alkyl and ~~C<sub>1-4</sub>alkoxycarbonyl~~) C<sub>1-4</sub>alkoxycarbonyl;
- 6) C<sub>1-5</sub>alkylR<sup>28</sup> ~~(wherein, wherein R<sup>28</sup> is as defined herein)~~ herein;
- 7) C<sub>2-5</sub>alkenylR<sup>28</sup> ~~(wherein, wherein R<sup>28</sup> is as defined herein)~~ herein;
- 8) C<sub>2-5</sub>alkynylR<sup>28</sup> ~~(wherein, wherein R<sup>28</sup> is as defined herein)~~ herein;
- 9) R<sup>29</sup> ~~(wherein, wherein R<sup>29</sup> represents a pyridone group, a phenyl group or a 5-6-membered aromatic heterocyclic group (linked, linked~~ linked via carbon or nitrogen) ~~with, with~~ with 1-3 heteroatoms selected from O, N and S, which pyridone, phenyl or aromatic heterocyclic group may carry up to 5 substituents on an available carbon atom selected from hydroxy, halogeno, amino, C<sub>1-4</sub>alkyl, C<sub>1-4</sub>alkoxy, C<sub>1-4</sub>hydroxyalkyl, C<sub>1-4</sub>aminoalkyl, C<sub>1-4</sub>alkylamino, C<sub>1-4</sub>hydroxyalkoxy, carboxy, trifluoromethyl, cyano, -CONR<sup>30</sup>R<sup>31</sup> and -NR<sup>32</sup>COR<sup>33</sup> ~~(wherein, wherein R<sup>30</sup>, R<sup>31</sup>, R<sup>32</sup> and R<sup>33</sup>, which may be the same or different, each represents hydrogen, C<sub>1-4</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl));~~
- 10) C<sub>1-5</sub>alkylR<sup>29</sup> ~~(wherein, wherein R<sup>29</sup> is as defined herein)~~ herein;
- 11) C<sub>2-5</sub>alkenylR<sup>29</sup> ~~(wherein, wherein R<sup>29</sup> is as defined herein)~~ herein;
- 12) C<sub>2-5</sub>alkynylR<sup>29</sup> ~~(wherein, wherein R<sup>29</sup> is as defined herein)~~ herein;
- 13) C<sub>1-5</sub>alkylX<sup>6</sup>R<sup>29</sup> ~~(wherein, wherein X<sup>6</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>34</sup>CO-, -CONR<sup>35</sup>-, -SO<sub>2</sub>NR<sup>36</sup>-, -NR<sup>37</sup>SO<sub>2</sub>- or -NR<sup>38</sup> (wherein, wherein R<sup>34</sup>, R<sup>35</sup>, R<sup>36</sup>, R<sup>37</sup> and R<sup>38</sup> each independently represents hydrogen, C<sub>1-3</sub>alkyl or C<sub>1-3</sub>alkoxyC<sub>2-3</sub>alkyl) and, and R<sup>29</sup> is as defined herein)~~ herein;
- 14) C<sub>2-5</sub>alkenylX<sup>7</sup>R<sup>29</sup> ~~(wherein, wherein X<sup>7</sup> represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>39</sup>CO-, -CONR<sup>40</sup>-, -SO<sub>2</sub>NR<sup>41</sup>-, -NR<sup>42</sup>SO<sub>2</sub>- or -NR<sup>43</sup> (wherein, wherein R<sup>39</sup>, R<sup>40</sup>, R<sup>41</sup>, R<sup>42</sup> and~~

$R^{43}$  each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) ~~and, and  $R^{29}$  is as defined herein) herein;~~

15)  $C_{2-5}$ alkynyl $X^8R^{29}$  ~~(wherein, wherein  $X^8$  represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>44</sup>CO-, -CONR<sup>45</sup>-, -SO<sub>2</sub>NR<sup>46</sup>-, -NR<sup>47</sup>SO<sub>2</sub>- or -NR<sup>48</sup>- (wherein, wherein  $R^{44}$ ,  $R^{45}$ ,  $R^{46}$ ,  $R^{47}$  and  $R^{48}$  each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and, and  $R^{29}$  is as defined herein) herein;~~

16)  $C_{1-3}$ alkyl $X^9C_{1-3}$ alkyl $R^{29}$  ~~(wherein, wherein  $X^9$  represents -O-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>49</sup>CO-, -CONR<sup>50</sup>-, -SO<sub>2</sub>NR<sup>51</sup>-, -NR<sup>52</sup>SO<sub>2</sub>- or -NR<sup>53</sup>- (wherein, wherein  $R^{49}$ ,  $R^{50}$ ,  $R^{51}$ ,  $R^{52}$  and  $R^{53}$  each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl) and, and  $R^{29}$  is as defined herein) herein;~~

17)  $C_{1-3}$ alkyl $X^9C_{1-3}$ alkyl $R^{28}$  ~~(wherein, wherein  $X^9$  and  $R^{28}$  are as defined herein) herein;~~ and

18)  $C_{1-3}$ alkyl $R^{54}C_{1-3}$ alkyl $X^9R^{55}$  ~~(wherein, wherein  $X^9$  is as defined herein and  $R^{54}$  and  $R^{55}$  are each independently selected from hydrogen,  $C_{1-3}$ alkyl, cyclopentyl, cyclohexyl and a 5-6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which  $C_{1-3}$ alkyl group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno and  $C_{1-4}$ alkoxy and which cyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,  $C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl,  $C_{1-4}$ alkoxy,  $C_{1-4}$ cyanoalkyl and  $C_{1-4}$ alkoxycarbonyl), with, with the proviso that  $R^{54}$  cannot be hydrogen;~~

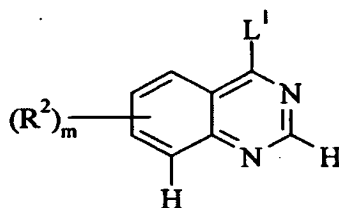
and additionally wherein any  $C_{1-5}$ alkyl,  $C_{2-5}$ alkenyl or  $C_{2-5}$ alkynyl group in  $R^5X^1$  may bear one or more substituents selected from hydroxy, halogeno and amino; provided that  $R^2$  is not hydrogen, substituted or unsubstituted  $C_{1-5}$ alkyl,  $C_{1-5}$ alkoxy, phenoxy or phenyl $C_{1-5}$ alkoxy; and

$R^{2a}$  represents hydrogen, halogeno,  $C_{1-3}$ alkyl,  $C_{1-3}$ alkoxy,  $C_{1-3}$ alkylthio, -NR<sup>3a</sup>R<sup>4a</sup> ~~(wherein, wherein  $R^{3a}$  and  $R^{4a}$ , which may be the same or different, each represents hydrogen or  $C_{1-3}$ alkyl), or, or  $R^{5a}(CH_2)_{2a}X^{1a}$  (wherein, wherein  $R^{5a}$  is a 5- or 6-membered saturated heterocyclic group with 1-2 heteroatoms, selected independently from O, S and N, which heterocyclic group may bear 1 or 2 substituents selected from oxo, hydroxy, halogeno,~~

$C_{1-4}$ alkyl,  $C_{1-4}$ hydroxyalkyl and  $C_{1-4}$ alkoxy,  $z$  is an integer from 0 to 4 and  $X^{1a}$  represents a direct bond, -O-, -CH<sub>2</sub>-, -S-, -SO-, -SO<sub>2</sub>-, -NR<sup>6a</sup>CO-, -CONR<sup>7a</sup>-, -SO<sub>2</sub>NR<sup>8a</sup>-, -NR<sup>9a</sup>SO<sub>2</sub>- or -NR<sup>10a</sup>- (wherein, wherein R<sup>6a</sup>, R<sup>7a</sup>, R<sup>8a</sup>, R<sup>9a</sup> and R<sup>10a</sup> each independently represents hydrogen,  $C_{1-3}$ alkyl or  $C_{1-3}$ alkoxy $C_{2-3}$ alkyl);  
or a salt thereof.

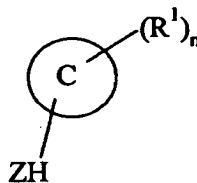
19 (previously presented): A process for the preparation of a compound of formula II or salt thereof, as defined in claim 18, which comprises:

(a) the reaction of a compound of the formula III:



(III)

(wherein R<sup>2</sup> and  $m$  are as defined in claim 18 and L<sup>1</sup> is a displaceable moiety), with a compound of the formula IV:



(IV)

(wherein ring C, R<sup>1</sup>, Z and  $n$  are as defined in claim 18);


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Class / Subclass(es) **514/266.1 & 544/284**

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